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DATE: Friday, June 22, 2007

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	<i>DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI; PLUR=YES; OP=OR</i>		
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<input type="checkbox"/>	L1	546/79	221

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NEWS 3 MAR 16 CASREACT coverage extended
NEWS 4 MAR 20 MARPAT now updated daily
NEWS 5 MAR 22 LWPI reloaded
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NEWS 7 APR 02 JICST-EPLUS removed from database clusters and STN
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NEWS 10 APR 30 CA/Capplus enhanced with 1870-1889 U.S. patent records
NEWS 11 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 12 MAY 01 New CAS web site launched
NEWS 13 MAY 08 CA/Capplus Indian patent publication number format defined
NEWS 14 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 15 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 16 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 17 MAY 21 CA/Capplus enhanced with additional kind codes for German patents
NEWS 18 MAY 22 CA/Capplus enhanced with IPC reclassification in Japanese patents
NEWS 19 JUN 18 CA/Capplus to be enhanced with pre-1967 CAS Registry Numbers
NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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FILE 'HOME' ENTERED AT 10:12:49 ON 22 JUN 2007

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SINCE FILE	TOTAL
ENTRY	SESSION
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FILE 'CAPLUS' ENTERED AT 10:24:34 ON 22 JUN 2007
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FILE COVERS 1907 - 22 Jun 2007 VOL 147 ISS 1
FILE LAST UPDATED: 21 Jun 2007 (20070621/ED)

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=> s desloratadine and loratadine and alcohol

352 DESLORATADINE
1002 LORATADINE
264805 ALCOHOL
173556 ALCOHOLS
405622 ALCOHOL
(ALCOHOL OR ALCOHOLS)
591682 ALC
194880 ALCS
690769 ALC
(ALC OR ALCS)
849558 ALCOHOL
(ALCOHOL OR ALC)

L1 20 DESLORATADINE AND LORATADINE AND ALCOHOL

=> s l1/p

'P' IS NOT A VALID FIELD CODE

0 DESLORATADINE/P
0 LORATADINE/P
0 ALCOHOL/P

L2 0 (DESLORATADINE/P AND LORATADINE/P AND ALCOHOL/P)

=> d abs bib fhitstr 1-20

L2 HAS NO ANSWERS

L2 0 SEA FILE=CAPLUS ABB=ON PLU=ON (DESLORATADINE/P AND LORATADINE/P AND ALCOHOL/P)

=> d abs bib hitstr 1-20 l1

L1 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
 AB There is provided homogeneous pharmaceutical compns. for the treatment of, for example, rhinitis, asthma and/or chronic obstructive pulmonary disease (COPD) comprising a corticosteroid and an antihistamine, a polar lipid liposome and a pharmaceutically acceptable aqueous carrier. Thus, a composition was prepared containing loratadine 1.0 mg, fluticasone propionate 125 µg, DMPC 8.05 mg, Lipoid S100 26.95 mg, citric acid 19.2 mg, solid NaOH 8.4 mg, 1M NaOH and/or 1M HCl to pH 5.0, and water to 1 mL.
 AN 2007:259702 CAPLUS Full-text <<LOGINID::20070622>>
 DN 146:302320
 TI Antihistamine- and corticosteroid-containing liposomes and compositions for treating rhinitis and related disorders
 IN Pereswetoff-Morath, Lena; Carlsson, Anders; Bjerke, Torbjorn
 PA Biolipox AB, Swed.
 SO PCT Int. Appl., 38pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	WO 2007026151	A1	20070308	WO 2006-GB3222	20060831
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	US 2005-712822P	P	20050901		
OS	MARPAT 146:302320				
RE.CNT	3			THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD	
				ALL CITATIONS AVAILABLE IN THE RE FORMAT	

L1 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
 AB A controlled-release, non-sedating antihistamine and decongestant compn. which provides a 24-h decongestant dissoln. profile using standard ungranulated xanthan gum as the sole controlled-release agent and a process for preparing the same is provided. The pharmaceutical composition of the present invention typically includes: a compressed extended-release core comprising a pharmaceutically effective amount of decongestant, ungranulated xanthan gum, one or more binders, a flow agent, and a lubricant. An immediate-release coating composition is disposed on the core that typically includes a non-sedating antihistamine and at least one coating agent.
 AN 2007:175632 CAPLUS Full-text <<LOGINID::20070622>>
 DN 146:236137
 TI Sustained release antihistamine and decongestant composition
 IN Perry, Ronald L.; Irwin, Jack T.
 PA USA
 SO U.S. Pat. Appl. Publ., 6pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2007036859	A1	20070215	US 2006-502114	20060810
	WO 2007021968	A2	20070222	WO 2006-US31434	20060811
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	US 2005-707267P	P	20050811		
	US 2006-502114	A	20060810		

L1 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB In various embodiments of the present invention, a capsule is provided including a hydrophobic inner layer and at least one hydrophilic outer layer. The hydrophobic layer may include a hydrophilic component such as an active pharmaceutical ingredient (API) which may be fully encapsulated, partially encapsulated or part of an adsorption complex. Such capsules experience no or minimal cracking or breaking in the outer layer. Thus, a capsule formulation comprising (i) an outer layer containing gelatin 80.00, glycerol 18.00, and sorbitol 2.00, and (ii) a core layer containing triglyceride 86.50, sweetener 1.50, Cab-O-Sil 1.00, menthol 3.50, eucalyptol 1.00, and phenylephrine HCl (encapsulated with Et cellulose 53:47) 5.00%, resp. The formulation was mixed to prepare the resp. layers. The material was extruded through each one of a double and triple nozzle, resp., arranged concentrically and released into a cooling solution (vegetable oil) to produce capsules in form of a double and triple structure, resp. The resulting capsules were spherical and about 8 mm in diameter

AN 2006:1041209 CAPLUS Full-text <<LOGINID::20070622>>

DN 145:383563

TI Compositions with hydrophilic drugs in a hydrophobic medium

IN Kulkarni, Neema Mahesh; Meghpara, Kanji Madhavji

PA Warner-Lambert Company LLC, USA

SO PCT Int. Appl., 25pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2006104703	A1	20061005	WO 2006-US9526	20060315
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2006222701	A1	20061005	US 2006-391518	20060328

PRAI US 2005-666051P P 20050329
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB Disclosed is a taste masked pharmaceutical compn. comprising: (a) a core containing a bitter-tasting drug, such as cetirizine dihydrochloride; and (b) a coating comprising a pharmaceutically acceptable cationic polymer based on mono- or dialkylaminoalkyl methacrylate and neutral acrylic or methacrylic esters, wherein the alkyl group independently has 1 to 6 carbon atoms, wherein the coating is applied to the surface of the core. The taste masked pharmaceutical compns. of the invention may be prepared without using an organic solvent or a cyclodextrin.

AN 2006:577864 CAPLUS Full-text <<LOGINID::20070622>>

DN 145:34293

TI Solvent-free taste masked pharmaceutical compositions

IN Kumaraperumal, Natrajan; Palaniswamy, Suresh; Davila, Pablo

PA USA

SO U.S. Pat. Appl. Publ., 9 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 2006127479	A1	20060615	US 2004-961728	20041008
PRAI	US 2004-961728		20041008		

L1 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB The pseudopolymorph of desloratadine formed with carbon dioxide has valuable anti-allergic effects and can be used as a pharmaceutical active ingredient. The present invention also relates to the preparation of desloratadine of high purity. The present invention also relates to the use of the pseudopolymorph of desloratadine for the preparation of its salts. Thus, tablets were obtained from 5.0 mg/tablet desloratadine pseudopolymorph.

AN 2006:34627 CAPLUS Full-text <<LOGINID::20070622>>

DN 144:114351

TI Pseudopolymorph of desloratadine formed with carbon dioxide

IN Mezei, Tibor; Simig, Gyula; Lukacs, Gyula; Porcs-Makkay, Marta; Volk, Balazs; Molnar, Eniko; Hofmanne Fekete, Valeria; Szent-Kirallyi, Zsuzsanna

PA Egis Gyogyszergyar Rt., Hung.

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2006003479	A2	20060112	WO 2005-HU73	20050707
	WO 2006003479	A3	20060608		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,				

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

HU 200500664 A2 20070328 HU 2005-664 20050706
PRAI HU 2004-1373 A 20040707
HU 2005-664 A 20050706

L1 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB The present invention relates to novel rapidly disintegrating pharmaceutical compns. useful as orodispersible tablets. The composition of the present invention comprises granules comprising at least one active substance, a fast dissolving excipient based on co-processed saccharides or polyols, wherein the excipient particles have a nonfilamentous microstructure, and silicified microcryst. cellulose. A rapidly disintegrating tablet contained loratadine 7.14, Aerosil-200 0.09, citric acid 0.54, Sc-Di-Sol 1.00, PVP K25 0.71, corn starch 3.57, anhydrous lactose 10.-71, Polysorbate-80V 1.07, fast dissolving excipient based on co-processed mannitol and sorbitol comprising particles having a nonfilamentous microstructure 56.48, ProSolv SMCC-90 15.72, citric acid 0.54, aspartame 0.36, fragrance sweet orange 0.46, Aerosil-200 1.50, and magnesium stearate 1.50%.

AN 2006:31726 CAPLUS Full-text <<LOGINID::20070622>>

DN 144:114461

TI Rapidly disintegrating orodispersible composition containing nonfilamentous coprocessed polyols particles and silicified microcrystalline cellulose

IN Beso, Adnan; Sirca, Judita

PA Lek Pharmaceuticals D.D., Slovenia

SO PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2006002937	A1	20060112	WO 2005-EP7091	20050630
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	EP 1773292	A1	20070418	EP 2005-757758	20050630
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, YU				
PRAI	SI 2004-199	A	20040701		
	WO 2005-EP7091	W	20050630		

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB The present invention describes a method for the impregnation of a drug or a drug composition into ophthalmic articles, in order to prepare drug sustained release systems mainly for the treatment of glaucoma and other eye diseases. Ophthalmic articles can be, e.g., contact lenses. The drug or drug composition is dissolved in a compressed fluid, or mixture of compressed

fluids, in a liquid, sub-critical liquid, gaseous or supercrit. state. Co-solvents can be added to increase drug solubility in the compressed fluids. The mixture is subsequently contacted with the ophthalmic article. This process can be done in a single step or in a double step manner. The impregnation can be carried out in finished or semifinished ophthalmic articles. Even after a 3-mo storage period, the ophthalmic articles are still impregnated with flurbiprofen.

AN 2006:7121 CAPLUS Full-text <<LOGINID::20070622>>

DN 144:94356

TI preparation of sustained-release therapeutic ophthalmic articles using compressed fluids for impregnation of drugs

IN Cipriano de Sousa, Herminio Jose; Mendes Gil, Maria Helena; Martins Duarte, Catarina Maria; Baptista Leite, Eugenio Oscar; Cruz Duarte, Ana Rita

PA Universidade de Coimbra, Port.; IBET- Instituto de Biologia Experimental e Tecnologica

SO Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1611877	A1	20060104	EP 2005-398006	20050627
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	CA 2510960	A1	20051228	CA 2005-2510960	20050628
	US 2006008506	A1	20060112	US 2005-167083	20050628
PRAI	PT 2004-103154	A	20040628		

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB The invention is directed to a pre-metered dry powder inhaler provided with a dry powder dose of a sensitive moisture-sensitive drug, e.g., tiotropium and excipient(s) loaded into a container comprising a dry, high barrier seal, which prevents ingress of moisture so that the fine particle structure of the powder dose is preserved. The dry powder dose has been formed by either volumetric or elec. field dose forming methods. The invention is also directed to a dry powder dose of tiotropium loaded into a container as described above. The dry powder inhaler and the dry powder dose of the invention is intended for use in the treatment of asthma and other respiratory disorders.

AN 2005:523253 CAPLUS Full-text <<LOGINID::20070622>>

DN 143:48122

TI Pre-metered dry powder inhaler for moisture-sensitive medicaments, such as tiotropium

IN Myrman, Mattias; Calander, Sven; Niemi, Alf

PA Microdrug A.-G., Switz.; Nilsson, Thomas

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005053648	A1	20050616	WO 2004-SE1794	20041202
	WO 2005053648	A8	20061116		
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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG

SE 2003003269	A	20050604	SE 2003-3269	20031203
SE 2003003569	A	20050604	SE 2003-3569	20031222
AU 2004294886	A1	20050616	AU 2004-294886	20041202
AU 2004294888	A1	20050616	AU 2004-294888	20041202
AU 2004294890	A1	20050616	AU 2004-294890	20041202
CA 2547245	A1	20050616	CA 2004-2547245	20041202
CA 2547781	A1	20050616	CA 2004-2547781	20041202
CA 2548072	A1	20050616	CA 2004-2548072	20041202
EP 1691781	A1	20060823	EP 2004-801709	20041202
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EP 1691783	A1	20060823	EP 2004-801711	20041202
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EP 1706099	A1	20061004	EP 2004-801707	20041202
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DE 202004021098	U1	20061123	DE 2004-202004021098	20041202
DE 202004021099	U1	20061123	DE 2004-202004021099	20041202
CN 1913872	A	20070214	CN 2004-80041212	20041202
CN 1913873	A	20070214	CN 2004-80041354	20041202
BR 2004017155	A	20070306	BR 2004-17155	20041202
BR 2004017097	A	20070313	BR 2004-17097	20041202
JP 2007513152	T	20070524	JP 2006-542535	20041202
JP 2007512898	T	20070524	JP 2006-542536	20041202
PRAI SE 2003-3269	A	20031203		
SE 2003-3569	A	20031222		
US 2004-933219	A	20040903		
SE 2003-3570	A	20031222		
EP 2004-801707	A	20041202		
EP 2004-801711	A	20041202		
WO 2004-SE1790	W	20041202		
WO 2004-SE1792	W	20041202		
WO 2004-SE1794	W	20041202		

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
 AB The invention discloses a medical product comprising a dry powder medicament
 dose and a container adapted for use in a dry powder inhaler that may be used
 in treatment of respiratory disorders.
 AN 2005:497211 CAPLUS Full-text <<LOGINID::20070622>>
 DN 143:48038
 TI Medical product containing tiotropium
 IN Nilsson, Thomas; Myrman, Mattias; Calander, Sven; Niemi, Alf
 PA Microdrug Ag, Switz.
 SO U.S. Pat. Appl. Publ., 16 pp.
 CODEN: USXXCO
 DT Patent
 LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005123486	A1	20050609	US 2004-921192	20040819
	SE 2003003269	A	20050604	SE 2003-3269	20031203
	AU 2004294886	A1	20050616	AU 2004-294886	20041202
	AU 2004294888	A1	20050616	AU 2004-294888	20041202
	AU 2004294889	A1	20050616	AU 2004-294889	20041202
	CA 2547781	A1	20050616	CA 2004-2547781	20041202
	CA 2547782	A1	20050616	CA 2004-2547782	20041202
	CA 2548072	A1	20050616	CA 2004-2548072	20041202
	WO 2005053647	A1	20050616	WO 2004-SE1793	20041202
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1691781	A1	20060823	EP 2004-801709	20041202
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	EP 1691782	A1	20060823	EP 2004-801710	20041202
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	EP 1706099	A1	20061004	EP 2004-801707	20041202
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	DE 202004021098	U1	20061123	DE 2004-202004021098	20041202
	DE 202004021099	U1	20061123	DE 2004-202004021099	20041202
	CN 1913873	A	20070214	CN 2004-80041354	20041202
	BR 2004017155	A	20070306	BR 2004-17155	20041202
	JP 2007513152	T	20070524	JP 2006-542535	20041202
	US 2007020198	A1	20070125	US 2006-448766	20060608
PRAI	SE 2003-3269	A	20031203		
	SE 2003-3571	A	20031222		
	SE 2003-3569	A	20031222		
	SE 2003-3570	A	20031222		
	US 2004-921192	A	20040819		
	US 2004-933219	A	20040903		
	EP 2004-801707	A	20041202		
	EP 2004-801711	A	20041202		
	WO 2004-SE1790	W	20041202		
	WO 2004-SE1792	W	20041202		
	WO 2004-SE1793	W	20041202		

L1 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB This method for the prodn. of ^{99m}Tc-marked microparticles entails dissolving a ^{99m}Tc-containing salt in a solvent. A suspension of microparticles is mixed with the solution and the solvent and the suspension medium are evaporated. The particles so produced can be used as radioactive powder inhalants.

AN 2004:898467 CAPLUS Full-text <<LOGINID::20070622>>

DN 141:384278

TI The production of ^{99m}Tc-marked microparticles and their use in powder inhalants

IN Hartig, Mareke; Trunk, Michael Josef Friedrich; Weuthen, Thomas

PA Boehringer Ingelheim Pharma GmbH & Co. KG, Germany
SO Ger. Offen., 8 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10317461	A1	20041028	DE 2003-10317461	20030416
	CA 2522308	A1	20041028	CA 2004-2522308	20040406
	WO 2004091581	A1	20041028	WO 2004-EP3644	20040406
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1617819	A1	20060125	EP 2004-725904	20040406
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	JP 2006523632	T	20061019	JP 2006-505014	20040406
PRAI	DE 2003-10317461	A	20030416		
	WO 2004-EP3644	W	20040406		

L1 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB The invention features a method for treating a patient diagnosed with, or at risk of developing, an immunoinflammatory disorder by administering a non-steroidal immunophilin-dependent immunosuppressant (NsIDI) and an NsIDI enhancer (NsIDIE) or analog or metabolite thereof to the patient. The invention also features a pharmaceutical composition containing an NsIDI and NsIDIE or analog or metabolite thereof for the treatment or prevention of an immunoinflammatory disorder.

AN 2004:718295 CAPLUS Full-text <<LOGINID::20070622>>

DN 141:236648

TI Combination therapy for the treatment of immunoinflammatory disorders

IN Jost-Price, Edward Roydon; Brasher, Bradley B.; Chappel, Todd W.; Manivasakam, Palaniyandi; Sachs, Noah; Smith, Brendan; Auspitz, Benjamin A.

PA Combinatorx, Incorporated, USA

SO PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004073614	A2	20040902	WO 2004-US4077	20040212
	WO 2004073614	A3	20041111		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,				

GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004212919	A1	20040902	AU 2004-212919	20040212
CA 2514061	A1	20040902	CA 2004-2514061	20040212
EP 1599212	A2	20051130	EP 2004-710606	20040212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007421	A	20060124	BR 2004-7421	20040212
CN 1761478	A	20060419	CN 2004-80007370	20040212
JP 2006517969	T	20060803	JP 2006-503514	20040212
US 2005192261	A1	20050901	US 2004-940902	20040914
AU 2004273880	A1	20050331	AU 2004-273880	20040915
CA 2537989	A1	20050331	CA 2004-2537989	20040915
WO 2005027839	A2	20050331	WO 2004-US30210	20040915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1670427	A2	20060621	EP 2004-784162	20040915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004014435	A	20061114	BR 2004-14435	20040915
JP 2007516217	T	20070621	JP 2006-526998	20040915
NO 2005003678	A	20050912	NO 2005-3678	20050729
IN 2005CN02258	A	20070406	IN 2005-CN2258	20050914
NO 2006001239	A	20060608	NO 2006-1239	20060317
PRAI US 2003-447366P	P	20030214		
US 2003-447412P	P	20030214		
US 2003-447415P	P	20030214		
US 2003-447553P	P	20030214		
US 2003-447648P	P	20030214		
US 2003-464753P	P	20030423		
US 2003-503026P	P	20030915		
WO 2004-US4077	W	20040212		
WO 2004-US30210	W	20040915		

L1 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB A solid controlled release pharmaceutical compn. suitable comprises a drug, a primary release-modifying agent, a secondary release-modifying agent and an auxiliary release-modifying agent, which are present in amts. that synergistically extend the release of the active ingredient. Thus, tablets contained nicotinic acid 500.00, PEG (mol. weight 4,000,000) 170.0, retrograde starch 40.00, lactose monohydrate 30.00, talc 5.00, and Mg stearate 5.00 mg, and water qs.

AN 2004:648315 CAPLUS Full-text <<LOGINID::20070622>>
DN 141:179622

TI Controlled release pharmaceutical compositions containing polymers
IN Kannan, Muthaiyyan Esakki; Krishnan, Anandi; Sapre, Beena Amol; Shah, Chitra; Patil, Atul

PA Glenmark Pharmaceuticals Ltd., India
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004066910	A2	20040812	WO 2004-IB274	20040126
	WO 2004066910	A8	20041007		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	IN 2003MU00132	A	20050204	IN 2003-MU132	20030131
	US 2004185097	A1	20040923	US 2004-762180	20040121
	CA 2493899	A1	20040812	CA 2004-2493899	20040126
	EP 1599190	A2	20051130	EP 2004-705137	20040126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	IN 2003-MU132	A	20030131		
	US 2003-517589P	P	20031105		
	WO 2004-IB274	W	20040126		

L1 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB Desloratadine is prepd. by the hydrolysis of loratadine in neat alc. (e.g., methanol) in presence of an inorg. base (e.g., NaOH) followed by isolating desloratadine upon addition of excess water.

AN 2004:287839 CAPLUS Full-text <<LOGINID::20070622>>

DN 140:303545

TI Process for the production of desloratadine by the hydrolysis of loratadine in alcohol with an inorganic base

IN Suri, Sanjay; Singh, Jujhar; Naim, Syed Shawkat

PA Morepen Laboratories Limited, India

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

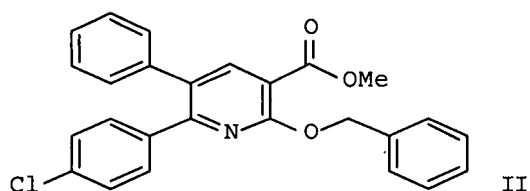
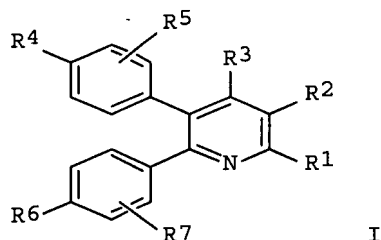
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004029039	A1	20040408	WO 2002-IN193	20020924
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2499125	A1	20040408	CA 2002-2499125	20020924
	AU 2002334383	A1	20040419	AU 2002-334383	20020924
	EP 1542986	A1	20050622	EP 2002-807860	20020924
	EP 1542986	B1	20070124		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	AT 352549	T	20070215	AT 2002-807860	20020924

US 2006100435 A1 20060511 US 2006-529008 20060103
PRAI WO 2002-IN193 W 20020924
OS CASREACT 140:303545

L1 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
GI



AB Title compds. I [wherein R1 = H, halo, CN, or (un)substituted alkyl, heterocycloalkyl(alkyl), heteroaryl, (hetero)arylalkyl, acyl, carboxy, (thio)ether, amino, carbamoyl, acylamino, carboxyamino, or ureido; R2 = H, CN, carboxy, halo, NO2, CF3, or (un)substituted carbamoyl; provided that R1 and R2 are not both H; R3 = H, CF3, or (un)substituted (cyclo)alkyl; R4-R7 = independently H, halo, amino, carboxy, alkyl, alkoxy, aryl(alkyl), OH, CF3, alkanoyloxy, or carbamoyloxy; provided that R6 and R7 are not both H; and pharmaceutically acceptable salts thereof] were prepared as cannabinoid-1 (CB1) receptor antagonists and/or inverse agonists (no data). For example, benzyl 4-chlorophenyl ketone was condensed with DMF dimethylacetal in DMF to give 3-(dimethylamino)-1-(4-chlorophenyl)-2-phenylprop-2-en-1-one. Cyclocondensation of the vinyl ketone with cyanoacetamide using NaH in DMF and MeOH provided the 3-cyano-2-pyridone. Conversion of the nitrile to the carboxylic acid with 50% H2SO4, followed by esterification using HCl in MeOH gave Me 6-(4-chlorophenyl)-5-phenyl-2-oxo-1,2-dihydropyridine-3-carboxylate. O-alkylation of the pyridone with benzyl bromide in the presence of Cs2CO3 in DMF afforded the title 2,3-diphenylpyridine II. Compds. of the invention and their pharmaceutical compns. serve as centrally acting drugs for the treatment, prevention, and suppression of diseases mediated by the CB1 receptor, such as psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome, the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia (no data). I are also useful for the treatment of substance abuse disorders, obesity or eating disorders, asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver (no data).

AN 2003:796416 CAPLUS Full-text <<LOGINID::20070622>>
DN 139:307686

TI Preparation of 2,3-diphenylpyridines as cannabinoid-1 receptor antagonists
and inverse agonists
IN Finke, Paul E.; Meurer, Laura C.; Debenham, John S.; Toupence, Richard B.;
Walsh, Thomas F.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082191	A2	20031009	WO 2003-US9005	20030324
	WO 2003082191	A3	20040115		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479744	A1	20031009	CA 2003-2479744	20030324
	AU 2003225964	A1	20031013	AU 2003-225964	20030324
	EP 1492784	A2	20050105	EP 2003-745578	20030324
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005182103	A1	20050818	US 2003-508043	20030324
	JP 2005531520	T	20051020	JP 2003-579734	20030324
PRAI	US 2002-368334P	P	20020328		
	WO 2003-US9005	W	20030324		
OS	MARPAT 139:307686				

L1 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN
AB An active ingredient from the group of an antihistamine, a decongestant, an antitussive or anticholinergic is dissolved in a suitable solvent and added to a dispersion of tannic acid in water to form the tannate salt complex of the active ingredient. The active ingredient tannate salt complex without isolation or purification is then added to a liquid or semi-solid medium composed of thickening, suspending, coloring, sweetening and flavoring agents, with stirring. Thereafter, preservatives, pH-adjusting and anti-caking agents in a suitable solvent are mixed with the liquid or semi-solid medium to generate a therapeutic dosage form. A suspension with xanthan gum as thickening agent was prepared from a formulation containing pseudoephedrine tannate 1.500, diphenhydramine tannate 0.500, saccharin sodium 0.300, sucrose 10.000, glycerin 7.500, Mg Al silicate 0.800, xanthan gum 0.520, dibasic sodium phosphate 1.000, methylparaben 0.200, sodium benzoate 0.100, FD&C Red Number-40 0.040, strawberry flavor 0.500, and water qs to 100%.
AN 2003:203393 CAPLUS Full-text <<LOGINID::20070622>>
DN 138:226774
TI Preparation of liquid and semisolid dosage forms containing drug tannate salts
IN Kiel, Jeffrey S.; Thomas, H. Greg; Mani, Narasimhan
PA Kiel Laboratories, Inc., USA
SO U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DT Patent
LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003050252	A1	20030313	US 2002-119285	20020409
	US 6869618	B2	20050322		
	CA 2453256	A1	20031023	CA 2003-2453256	20030226
	CA 2469338	A1	20031023	CA 2003-2469338	20030226
	CA 2469736	A1	20031023	CA 2003-2469736	20030226
	WO 2003086356	A1	20031023	WO 2003-US5664	20030226
	W: AU, CA, US				
	WO 2003086357	A1	20031023	WO 2003-US5665	20030226
	W: AU, CA, US				
	WO 2003086346	A1	20031023	WO 2003-US5667	20030226
	W: AU, CA, US				
	AU 2003216399	A1	20031027	AU 2003-216399	20030226
	AU 2003217703	A1	20031027	AU 2003-217703	20030226
	AU 2003217704	A1	20031027	AU 2003-217704	20030226
	CA 2481370	A1	20031023	CA 2003-2481370	20030409
	WO 2003086295	A2	20031023	WO 2003-US10921	20030409
	WO 2003086295	A3	20040923		
	W: CA				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
EP	1496866	A2	20050119	EP 2003-746683	20030409
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
US	2004234593	A1	20041125	US 2004-489135	20040305
US	2005020509	A1	20050127	US 2004-921438	20040819
US	7094429	B2	20060822		
US	2005069584	A1	20050331	US 2004-505347	20040819
US	2005069585	A1	20050331	US 2004-505355	20040819
US	2007020332	A1	20070125	US 2006-501649	20060809
PRAI	US 2001-282969P	P	20010410		
	US 2001-328990P	P	20011012		
	US 2002-119285	A	20020409		
	US 2002-269027	A	20021010		
	WO 2003-US5664	W	20030226		
	WO 2003-US5665	W	20030226		
	WO 2003-US5667	W	20030226		
	WO 2003-US10921	W	20030409		
	US 2004-921438	A2	20040819		

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB Texture masked particles and chewable tablets made therefrom are disclosed. The texture masked particles are comprised of (i) a core containing an active ingredient, e.g. and antacid or non-steroidal anti-inflammatory agent, (ii) an optional first layer of a taste masking agent that substantially covers the core, and (iii) a texture masking coating layer on the surface of the core comprising a film-forming polymer and an anti-grit agent. A taste masked particles comprise (i) a core containing an active ingredient, and (ii) a taste masking agent composed of an enteric polymer and an insol. film-forming polymer. The particles may be produced into a tablet form, such as a chewable tablet, that provides for the immediate release of the active ingredient. For example, a texture masking coating solution was prepared by dispersing equal amount of hydroxypropyl Me cellulose and polyethylene glycol 800 together with acesulfame potassium (1% of solids) in a solvent comprising 77% ethanol and 23% water so that the solid materials represented 10% of the finished solution. Then, Et cellulose-encapsulated acetaminophen (1000 g) was sprayed with the

texture masking coating solution prepared so that the level of the texture masking coating materials was 7% by weight of the total finished texture masked coated particles. The resulting coated particles had an average diameter of 380 μ .

AN 2002:503329 CAPLUS Full-text <<LOGINID::20070622>>

DN 137:68175

TI Texture masked particles coated with a film-forming polymer and an anti-grit agent

IN Parikh, Narendra; McTeigue, Daniel; Wynn, David W.; Pillai, Ravivaj S.

PA McNeil-PPC, Inc., USA

SO Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	EP 1219291	A1	20020703	EP 2001-310751	20011221
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2002119196	A1	20020829	US 2000-745243	20001221
	CA 2365844	A1	20020621	CA 2001-2365844	20011220
	IN 2001CA00705	A	20050311	IN 2001-CA705	20011220
	AU 200197361	A	20020627	AU 2001-97361	20011221
	AU 783593	B2	20051110		
	CN 1366878	A	20020904	CN 2001-145483	20011221
	JP 2002272817	A	20020924	JP 2001-390445	20011221
	ZA 2001010547	A	20030730	ZA 2001-10547	20011221
	NZ 516341	A	20030829	NZ 2001-516341	20011221
	BR 2001006912	A	20030916	BR 2001-6912	20011221
PRAI	US 2000-745243	A	20001221		

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB The invention relates to inhalant compns. based on tiotropium salts and antihistamines, a method for their production and their use for treating respiratory illnesses, e.g. allergic and non-allergic rhinitis. Thus and inhalation powder contained per microcapsule (μ g): tiotropium bromide 21.7; epinastine-hydrochloride 200; lactose 4778.3.

AN 2002:353315 CAPLUS Full-text <<LOGINID::20070622>>

DN 136:374833

TI Inhalant composition containing tiotropium salts and antihistamines

IN Pairet, Michel; Pieper, Michael Paul; Meade, Christopher John Montague; Schmelzer, Christel

PA Boehringer Ingelheim Pharma Kg, Germany

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2002036163	A2	20020510	WO 2001-EP12510	20011023
	WO 2002036163	A3	20021212		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,				

	US, UZ, VN, YU, ZA, ZW			
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,			
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,			
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10138272	A1	20030227	DE 2001-10138272	20010810
CA 2436537	A1	20020510	CA 2001-2436537	20011023
AU 200214030	A	20020515	AU 2002-14030	20011023
EP 1341538	A2	20030910	EP 2001-982446	20011023
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004512379	T	20040422	JP 2002-538972	20011023
US 2003181478	A1	20030925	US 2003-395777	20030324
US 6890517	B2	20050510		
US 2005147564	A1	20050707	US 2005-68134	20050228
PRAI DE 2000-10054042	A	20001031		
DE 2001-10138272	A	20010810		
US 2000-253613P	P	20001128		
WO 2001-EP12510	W	20011023		
US 2001-40196	B1	20011025		
US 2003-395777	A1	20030324		

L1 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB A review, with refs. A new competitive histamine H1-receptor antagonist with superior binding affinity at this receptor as compared with other common antihistamines, desloratadine is the active metabolite of loratadine, the most extensively used agent of this class. Under development for the treatment of allergic rhinitis and urticaria and currently awaiting regulatory approval in the United States, desloratadine was recently approved and became com. available in Europe for the treatment of allergic disease. Desloratadine is at least 50-fold more potent in vitro and appears to be 10-fold more potent in vivo than loratadine. The new antihistamine is metabolized to 3-hydroxydesloratadine, which retains biol. activity. Absorption of orally administered desloratadine is dose proportional, and desloratadine achieves steady-state concns. after approx. 5 doses with once-daily administration. This is consistent with mean half-life values of 24-27 h and a 24-h dosing interval. The absorption of desloratadine is not affected by food and there are no clin. relevant drug-drug interactions. In randomized, double-blind, placebo-controlled clin. trials, a single 5 mg dose of desloratadine conferred significant relief of seasonal allergic rhinitis (SAR) symptoms - including the complaint of nasal congestion - within hours of the first dose, and these effects were sustained both for the entire 24-h dosing interval and up to 2-4 wk with once-daily treatment (5 mg/day). In addition, patients with seasonal exacerbations of mild to moderate asthma derived similar clin. benefits from desloratadine, with significant, first-dose relief of both SAR-related complaints such as nasal congestion as well as asthma symptoms. In addition, β 2 agonist requirements for symptom management were significantly reduced from baseline in these asthma patients when treated with the 5 mg/day desloratadine regimen as compared with placebo. Also experiencing marked relief of symptoms upon treatment with desloratadine were patients with chronic idiopathic urticaria, who exhibited significant first-dose relief of pruritus and sustained redns. in this symptom, nos. of lesions (and size of largest hive) and sleep disturbances, with a marked improvement in their ability to carry out activities of daily living. The clin. benefits of desloratadine in the above clin. settings were accompanied by general improvements in quality of life. Desloratadine does not cross the blood-brain barrier, as demonstrated by both human studies using cognitive indexes as well as work in animal models. Desloratadine is well tolerated, and no significant drug-related (or food-related) adverse effects were noted when the agent was administered together with cytochrome P 450 inhibitors (e.g., ketoconazole, erythromycin). Administration of desloratadine has not been shown to cause any significant

changes in cardiac activity at therapeutic doses, even at 9-fold higher doses, or in the presence of P 450 inhibitors. Nor does administration of desloratadine lead to sedation, even in the presence of alc.

AN 2001:507229 CAPLUS Full-text <<LOGINID::20070622>>

DN 135:297925

TI Desloratadine: A preclinical and clinical overview

AU Norman, P.; Dihlmann, A.; Rabasseda, X.

CS Norman Consulting, Burnham, UK

SO Drugs of Today (2001), 37(4), 215-227

CODEN: MDACAP; ISSN: 0025-7656

PB Prous Science

DT Journal; General Review

LA English

RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB This is a review contg. 39 refs. Desloratadine is the orally active major metabolite of the nonsedating H1-antihistamine loratadine. The drug had no adverse cardiovascular effects in various animal models or when administered at 9 times the recommended adult dosage for 10 days in volunteers. Therapeutic dosages had no effects on wakefulness or psychomotor performance in healthy volunteers. No clin. significant interactions have been reported between desloratadine and drugs that inhibit the cytochrome P 450 system, nor does the drug potentiate the adverse psychomotor effects of alc. Oral desloratadine 5mg once daily for up to 4 wk in patients with seasonal allergic rhinitis (SAR) significantly reduced nasal (including congestion) and non-nasal symptoms and improved health-related quality of life compared with placebo. Similar beneficial effects were observed in patients with SAR and coexisting asthma (in whom asthma symptoms and use of β 2-agonists were reduced). Desloratadine 5mg once daily for 6 wk significantly improved pruritus and reduced the number of hives compared with placebo in patients with chronic idiopathic urticaria (CIU). Sleep and day-time performance also improved. Desloratadine was well tolerated in clin. trials and had an adverse event profile similar to that of placebo in patients with SAR (with or without asthma) or CIU.

AN 2001:466238 CAPLUS Full-text <<LOGINID::20070622>>

DN 135:282475

TI Desloratadine

AU McClellan, Karen; Jarvis, Blair

CS Adis International Limited, Auckland, N. Z.

SO Drugs (2001), 61(6), 789-796

CODEN: DRUGAY; ISSN: 0012-6667

PB Adis International Ltd.

DT Journal; General Review

LA English

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN

AB A review with 88 refs. Sepracor is developing desloratadine, a histamine H1 antagonist, as an improved version of Schering-Plough's Claritin (loratadine), for the potential treatment of allergy. It is in phase III trials for chronic urticaria. In Oct. 1999, Schering-Plough submitted an NDA to the US FDA seeking clearance to market DCL for the treatment of seasonal allergic rhinitis. Schering-Plough also submitted a centralized marketing authorization application for desloratadine to the EU's EMEA. Extensive details of the pharmacol. activity and the therapeutic efficacy of desloratadine were presented, in 15 presentations, at the Mar. 2000 meeting of the American Academy of Allergy, Asthma and Immunol. Studies in over 2000

rhinitic patients have shown that once daily treatment with 5 or 7.5 mg desloratadine alleviates rhinitis symptoms, improves the quality of life of rhinitis patients and also reduces nasal congestion. Desloratadine does not induce sedation in man, even when combined with alc., and does not prolong the QTc interval. Co-administration of either ketonconazole or erythromycin only increased plasma concns. of desloratadine by a small degree. In Dec. 1997, Schering-Plough and Sepracor entered into a licensing agreement giving Schering-Plough exclusive worldwide rights to Sepracor's patents relating to desloratadine. Merrill Lynch predicted an NDA filing before the end of 1999 and expects desloratadine to be launched during the second half of 2000.

AN 2000:353357 CAPLUS Full-text <<LOGINID::20070622>>
 DN 132:342665
 TI Desloratadine (Sepracor)
 AU Norman, Peter
 CS Norman Consulting, Bucks, SL1 8JW, UK
 SO Current Opinion in Anti-Inflammatory and Immunomodulatory Investigational
 Drugs (2000), 2(2), 117-126
 CODEN: COAIFF; ISSN: 1464-8474
 PB PharmaPress Ltd.
 DT Journal; General Review
 LA English

=> file registry

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	ENTRY	SESSION
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	ENTRY	SESSION
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 DICTIONARY FILE UPDATES: 21 JUN 2007 HIGHEST RN 938223-21-3

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=> s desloratadine/cn
 L3 1 DESLORATADINE/CN

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
5.40	75.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-15.60

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FILE LAST UPDATED: 21 Jun 2007 (20070621/ED)

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<http://www.cas.org/infopolicy.html>

=> s l3/p

L4 36 L3/P

=> s l4 and loratadine

1002 LORATADINE

L5 19 L4 AND LORATADINE

=> d abs bib hitstr 1-19

L5 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

AB Novel polymorph Forms III and V of desloratadine are provided. Pharmaceutical compns. containing such polymorphs are also provided. Desloratadine was prepared by the base hydrolysis of loratadine and was shown to be crystalline Form III.

AN 2007:646617 CAPLUS Full-text <<LOGINID::20070622>>

TI Novel crystalline forms of desloratadine and processes for their preparation

IN Kumar, Bobba Venkata Siva; Kale, Sanjay Anantha; Choudhari, Raju Baban; Pradhan, Nitin Sharad Chandra

PA Glenmark Pharmaceuticals Limited, India

SO U.S. Pat. Appl. Publ., 10pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.

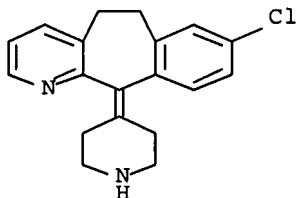
KIND

DATE

APPLICATION NO.

DATE

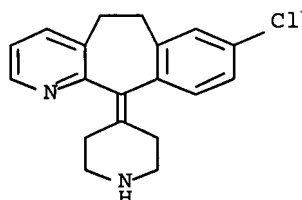
PI US 2007135472 A1 20070614 US 2006-607229 20061201
 PRAI IN 2005-MU1487 A 20051201
 US 2006-756275P P 20060104
 IT 100643-71-8P, Desloratadine
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); PRP (Properties); USES (Uses)
 (novel crystalline forms of desloratadine and processes for their
 preparation)
 RN 100643-71-8 CAPLUS
 CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-
 piperidinylidene)- (CA INDEX NAME)



L5 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
 AB The pseudopolymorph of desloratadine formed with carbon dioxide has valuable
 anti-allergic effects and can be used as a pharmaceutical active ingredient.
 The present invention also relates to the preparation of desloratadine of high
 purity. The present invention also relates to the use of the pseudopolymorph
 of desloratadine for the preparation of its salts. Thus, tablets were obtained
 from 5.0 mg/tablet desloratadine pseudopolymorph.
 AN 2006:34627 CAPLUS Full-text <<LOGINID::20070622>>
 DN 144:114351
 TI Pseudopolymorph of desloratadine formed with carbon dioxide
 IN Mezei, Tibor; Simig, Gyula; Lukacs, Gyula; Porcs-Makkay, Marta; Volk,
 Balazs; Molnar, Eniko; Hofmanne Fekete, Valeria; Szent-Kirallyi, Zsuzsanna
 PA Egis Gyogyszergyar Rt., Hung.
 SO PCT Int. Appl., 48 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006003479	A2	20060112	WO 2005-HU73	20050707
	WO 2006003479	A3	20060608		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	HU 200500664	A2	20070328	HU 2005-664	20050706

PRAI HU 2004-1373 A 20040707
 HU 2005-664 A 20050706
 IT 100643-71-8P, Desloratadine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (pseudopolymorph of desloratadine formed with carbon dioxide)
 RN 100643-71-8 CAPLUS
 CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-
 piperidinylidene)- (CA INDEX NAME)



L5 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
 AB The present invention relates generally to the field of tannate chem. and more
 specifically to methods for processing tannate tablets, capsules, or other
 solid dosage forms. The present invention provides a novel manufacturing
 process for the conversion of one or more active pharmaceutical ingredients
 ("API") into their tannate salt complexes while incorporating the complexes
 into a therapeutic solid-dosage form which also may include non-tannate APIs.
 The first step of this process is to create a tannic acid powder blend by
 combining the salt or free base form of one or more APIs with tannic acid.
 After the dry blend is thoroughly mixed, a pharmaceutically acceptable liquid
 is added, for example by spraying, onto the dry powder blend facilitating the
 tannate salt conversion process. The conversion product is then added to
 addnl. dry powders thereby reducing the overall liquid content to a level that
 is more typical of wet granulation processes.
 AN 2005:1005830 CAPLUS Full-text <<LOGINID::20070622>>
 DN 143:292591
 TI In process conversion method for preparing tannate tablet, capsule or
 other solid dosage forms
 IN Ware, Emily C.; Kiel, Jeffrey S.; Thomas, H. Greg; Ware, Brady Neal;
 Harned, George T.
 PA USA
 SO U.S. Pat. Appl. Publ., 6 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005202080	A1	20050915	US 2005-78854	20050311
	WO 2005089721	A1	20050929	WO 2005-US7826	20050311
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

PRAI US 2004-552519P P 20040312

IT 100643-71-8DP, Desloratadine, tannate complexes

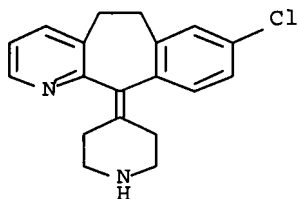
RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL

(Biological study); PREP (Preparation); USES (Uses)

(in-process conversion method for preparing tannate tablets or other solid dosage forms)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)



L5 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

AB Rupatadine was prepd. from Loratadine via hydrolysis and alkylation to provide the product with overall yield 32.4%.

AN 2005:515027 CAPLUS Full-text <<LOGINID::20070622>>

DN 144:369863

TI Synthesis of Rupatadine

AU Xin, Shiu-bo; Wu, Fan-hong

CS College of Chemistry and Pharmaceutics, East China University of Science and Technology, Shanghai, 200237, Peop. Rep. China

SO Zhongguo Xinyao Zazhi (2005), 14(4), 451-452

CODEN: ZXZHA6; ISSN: 1003-3734

PB Zhongguo Xinyao Zazhishe

DT Journal

LA Chinese

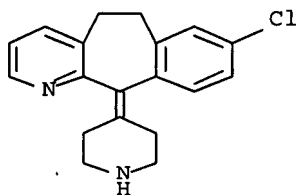
IT 100643-71-8P

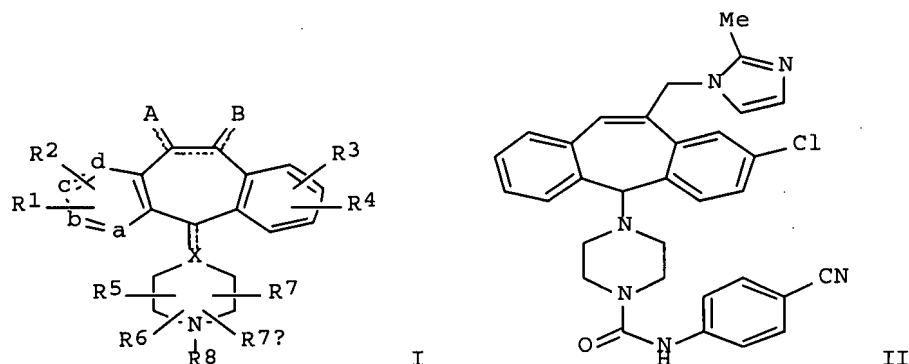
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of Rupatadine)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)





AB Title benzo[5,6]cyclohepta[1,2-b]pyridines and analogs (I) [wherein one of a, b, d, e = N, N=O; remaining a, b, d, e = C substituted with R1 or R2; or each a, b, d, e = C substituted with R1 or R2; X = N, C, CH; A, B = independently H, (un)substituted R9, carbamoyl(alkyl), amino(alkyl), acylamino(alkyl), ureido(alkyl), etc.; R1-R4 = independently H, halo, CF₃, alkoxy, amino, NO₂, CN, alkyl, alkenyl, alkynyl, etc.; R5-R7a = independently H, CF₃, acyl, alkyl, aryl; R8 = H, alkoxycarbonyl, aryloxycarbonyl, alkylsulfonyl, arylsulfonyl, etc.; R9 = (un)substituted heteroaryl(alkyl), arylalkoxy, heterocyclyl(alkyl), etc.; and stereoisomers, pharmaceutically acceptable salts, solvates, and prodrugs thereof] were prepared as farnesyl protein transferase (FPT) inhibitors. For example, a multi-step synthesis starting from tert-Bu 4-[8-chloro-6-(hydroxymethyl)-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperazinecarboxylate, 2-methylimidazole, and p-cyanophenyl isocyanate gave (S)-II. The latter inhibited tumor growth of mouse H-Ras fibroblasts, HTB-177 human non-small cell lung cancer cells, and LOX human melanoma cells by 98% (60 MPK, p.o., BID, x2), 96% (80 MPK, p.o., BID, x3), and 90.3% (60 MPK, p.o., BID, x1), resp. Compds. of the invention inhibited FPT activity with IC₅₀ values in the range of 0.05 nM to 100 nM and suppressed anchorage-independent growth of human tumor cells in a soft agar assay with IC₅₀ values in the range of <0.5 nM to 50 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of proliferative diseases, such as cancer.

AN 2004:559502 CAPLUS Full-text <<LOGINID::20070622>>

DN 141:190802

TI Preparation of tricyclic antitumor compounds as farnesyl protein transferase inhibitors

IN Zhu, Hugh Y.; Njoroge, F. George; Cooper, Alan B.; Guzi, Timothy; Rane, Dinanath F.; Minor, Keith P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Santhanam, Bama; Pinto, Patrick A.; Vibulbhan, Banacha; Keertikar, Kartik M.; Alvarez, Carmen S.; Baldwin, John J.; Li, Ge; Huang, Chia-yu; James, Ray A.; Bishop, W. Robert; Wang, James J.-S.; Desai, Jagdish A.

PA Schering Corporation, USA

SO U.S. Pat. Appl. Publ., 731 pp., Cont.-in-part of U.S. Ser. No. 85,896.
CODEN: USXXCO

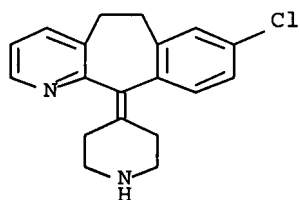
DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004122018	A1	20040624	US 2002-325896	20021219
	US 2002198216	A1	20021226	US 2001-940811	20010828
	US 2003229099	A1	20031211	US 2002-85896	20020227
	US 2004122018	A1	20040624	US 2002-325896	20021219
PRAI	US 2001-940811	A2	20010828		
	US 2002-85896	A2	20020227		
	US 2002-325896	A	20021219		
	US 2000-229183P	P	20000830		
IT	100643-71-8P				

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of tricyclic antitumor agents as farnesyl
 protein
 transferase inhibitors for treatment of cancer and other proliferative
 diseases)
 RN 100643-71-8 CAPLUS
 CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-
 piperidinylidene)- (CA INDEX NAME)



L5 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
 AB Desloratadine is prepd. by the hydrolysis of loratadine in neat alc. (e.g.,
 methanol) in presence of an inorg. base (e.g., NaOH) followed by isolating
 desloratadine upon addition of excess water.
 AN 2004:287839 CAPLUS Full-text <<LOGINID::20070622>>
 DN 140:303545
 TI Process for the production of desloratadine by the hydrolysis of
 loratadine in alcohol with an inorganic base
 IN Suri, Sanjay; Singh, Jujhar; Naim, Syed Shawkat
 PA Morepen Laboratories Limited, India
 SO PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004029039	A1	20040408	WO 2002-IN193	20020924
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2499125	A1	20040408	CA 2002-2499125	20020924
AU 2002334383	A1	20040419	AU 2002-334383	20020924
EP 1542986	A1	20050622	EP 2002-807860	20020924
EP 1542986	B1	20070124		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

AT 352549	T	20070215	AT 2002-807860	20020924
US 2006100435	A1	20060511	US 2006-529008	20060103

PRAI WO 2002-IN193 W 20020924

OS CASREACT 140:303545

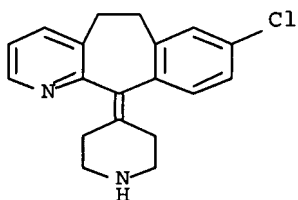
IT 100643-71-8P, Desloratadine

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)

(process for the production of desloratadine by the hydrolysis of
loratadine in alc. with an inorg. base)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-
piperidinylidene)- (CA INDEX NAME)



L5 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

AB Descarboethoxyloratadine was prepd. in 93.9% yield by refluxing loratadine
with KOH in 80% EtOH for 6 h.

AN 2004:62696 CAPLUS Full-text <<LOGINID::20070622>>

DN 141:190666

TI Synthesis study of descarboethoxyloratadine

AU Zhi, Yonggang; Zou, Yuquan; Han, Jie; Yang, Wenwei; Zhang, Liangfu

CS Chengdu Institute Of Organic Chemistry, Chinese Academy Of Sciences,
Chengdu, 610041, Peop. Rep. China

SO Huaxue Yanjiu Yu Yingyong (2002), 14(5), 603-604

CODEN: HYYIFM; ISSN: 1004-1656

PB Huaxue Yanjiu Yu Yingyong Bianjibu

DT Journal

LA Chinese

OS CASREACT 141:190666

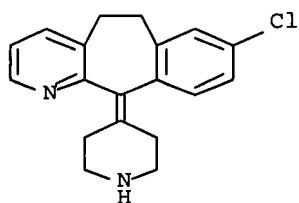
IT 100643-71-8P, Descarboethoxyloratadine

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis study of descarboethoxyloratadine)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-
piperidinylidene)- (CA INDEX NAME)



L5 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; one of a, b, d, e = N, N:O; remaining a, b, d, e = C (wherein each C atom has an R1 or R2 bound to said carbon); or each a, b, d, e = C (wherein each C atom has an R1 or R2); R1-R4 = H, halo, CF3, alkoxy, etc.; R5-R7, R9 = H, CF3, alkyl, aryl, etc.; R8 = H, alkoxycarbonyl, aryloxy carbonyl, alkylsulfonyl, arylsulfonyl, etc.; dotted line = single or double bond; X = N, CH; A, B = (un)substituted CH, CH2], their stereoisomers, pharmaceutically acceptable salts, solvates, and prodrugs which are useful for inhibiting farnesyl protein transferase, were prepared E.g., a multi-step synthesis of II, was given. The compds. I have an FTP IC50 in the range of 0.05 nM to 100 nM. Also disclosed are pharmaceutical compns. comprising title compds. I as well as methods of using them to treat proliferative diseases such as cancer.

AN 2003:971730 CAPLUS Full-text <<LOGINID::20070622>>

DN 140:27844

TI Preparation of tricyclic antitumor compounds as farnesyl protein transferase inhibitors

IN Zhu, Hugh Y.; Njoroge, F. George; Cooper, Alan B.; Guzi, Timothy; Rane, Dinanath F.; Minor, Keith P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Santhanam, Bama; Pinto, Patrick A.; Vibulbhan, Bancha; Keertikar, Kartik M.; Alvarez, Carmen S.; Baldwin, John J.; Li, Ge; Huang, Chia-Yu; James, Ray A.; Bishop, W. Robert; Wang, James J. S.; Desai, Jagdish A.

PA USA

SO U.S. Pat. Appl. Publ., 519 pp., Cont.-in-part of U.S. Pat. Appl. 2002 198,216.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003229099	A1	20031211	US 2002-85896	20020227
	US 2002198216	A1	20021226	US 2001-940811	20010828
	US 2004122018	A1	20040624	US 2002-325896	20021219
	CA 2477328	A1	20030904	CA 2003-2477328	20030225
	WO 2003072549	A1	20030904	WO 2003-US5479	20030225
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003215389 A1 20030909 AU 2003-215389 20030225
BR 2003008071 A 20041221 BR 2003-8071 20030225
EP 1492772 A1 20050105 EP 2003-711214 20030225

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1630641 A 20050622 CN 2003-804720 20030225
JP 2005525356 T 20050825 JP 2003-571255 20030225
ZA 2004006807 A 20050829 ZA 2004-6807 20040826
NO 2004004053 A 20041126 NO 2004-4053 20040924

PRAI US 2000-229183P P 20000830
US 2001-940811 A2 20010828
US 2002-85896 A2 20020227
US 2002-325896 A 20021219
WO 2003-US5479 W 20030225

OS MARPAT 140:27844

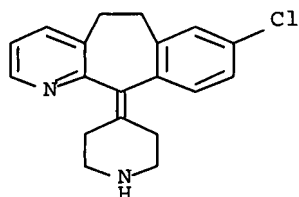
IT 100643-71-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic antitumor compds. as farnesyl protein transferase inhibitors)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)



L5 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

AB Desloratadine and its N-formyl deriv. were synthesized from loratadine via potassium hydroxide hydrolysis and formylated with formic acid, gave the products with yield 79% and 68.8% resp.

AN 2003:700803 CAPLUS Full-text <<LOGINID::20070622>>

DN 140:111248

TI Synthesis of desloratadine and its N-formyl derivative

AU Li, Jia-ming; Li, Feng; Tong, Yuan-Feng; Tao, Li

CS Department of Pharmaceutical Chemistry, Anhui College of Traditional Chinese Medicine, Hefei, 230038, Peop. Rep. China

SO Zhongguo Xinyao Zazhi (2003), 12(7), 536-538

CODEN: ZXZHA6; ISSN: 1003-3734

PB Zhongguo Xinyao Zazhishe

DT Journal

LA Chinese

OS CASREACT 140:111248

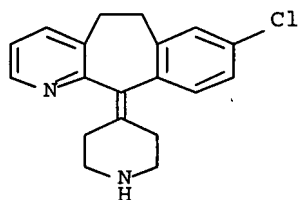
IT 100643-71-8P, Desloratadine

RL: SPN (Synthetic preparation); PREP (Preparation)

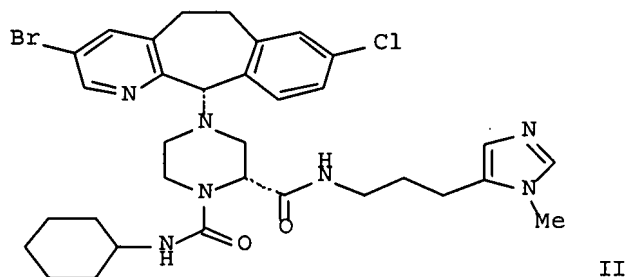
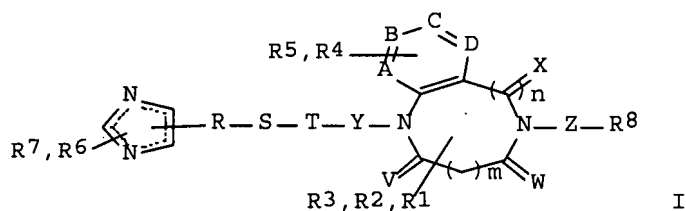
(synthesis of desloratadine and its N-formyl derivative)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)



L5 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
GI



AB Disclosed is a method of treating and or preventing infections of *Trypanosoma brucei*, a parasite from tsetse fly causing sleeping sickness, by administering to a patient, in need of such treatment, an effective amount of a farnesyl protein transferase inhibitor alone or in combination with an addnl. anti-*Trypanosoma brucei* agent and/or an anti-*Trypanosoma brucei* resistance reversing agent. The farnesyl protein transferase inhibitors are represented by general formulas, e.g. (I) [wherein R1-R3 = H, alkoxycarbonyl, each (un)substituted alkyl, alkenyl, alkynyl, aryl, heterocyclyl, or CONH2, cycloalkyl, cyano; or any of two R1-R3 form a cycloalkyl group; R4, R5 = H, halo, NO2, cyano, etc.; R6-R8 = H, lower alkyl, substituted alkyl, (un)substituted aryl; R, S, T = CH2, CO, CH(CH2)pQ; wherein Q = (un)substituted NH2 or OH, cyano; p = 0,1,2; V, W, X = O, H; Y, Z = each mono-(un)substituted CH2, NH, SO2NH, CONH2; m,n = 0,1; A, B,C, D = C, O, S, N; provisos are given].
21 Specific farnesyl protein transferase inhibitors, e.g. N-(6,11-dihydro-5H-

benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine derivative (II), are claimed. The compds. of the invention had an IC50 range of between 0.0019 μ M to 15 μ M in Trypanosoma brucei FPTase SPA assay, and an ED50 range of between 0.2 μ M to <10 μ M in T. brucei cell-based assay.

AN 2003:551183 CAPLUS Full-text <<LOGINID::20070622>>

DN 139:117438

TI Preparation of N-(benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine and -piperidine derivatives and related compounds and treatment of Trypanosoma brucei with farnesyl protein transferase (FPTase) inhibitors

IN Windsor, William T.; Weber, Patricia C.; Strickland, Corey; Syto, Rosalinda; Girijavallabhan, Viyyoor M.; Kaminski, James J.; Guo, Zhuyan

PA Schering Corporation, USA

SO U.S. Pat. Appl. Publ., 48 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

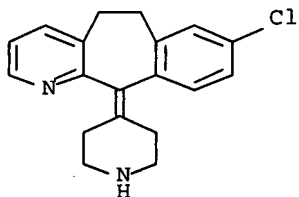
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003134846	A1	20030717	US 2002-266036	20021007
PRAI	US 2001-327934P	P	20011009		
OS	MARPAT 139:117438				
IT	100643-71-8P				

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (benzo[5,6]cyclo[b]pyridinyl)piperazine and -piperidine derivs. and related compds. as farnesyl protein transferase inhibitors for treatment of Trypanosoma brucei)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)



L5 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title pyridobenzocycloheptenes I [one of a-d = N, the others = (un)substituted CH; X = singly bonded N, singly or doubly bonded C; R, R2 = H, singly bonded substituent, R1, R3 = H; R1R3 = bond; R4 = (un)substituted CO2H, SO2H, CONH2, acyl; and the benzene and heterocyclic ring may have further substituents] which are used as an FPT inhibitor for the manufacture of a medicament for the treatment of cancer (e.g., non small cell lung cancer, squamous cell cancer of the head and neck, CML, AML, non-Hodgkin's lymphoma

and multiple myeloma) in combination with therapeutically effective amts. of one or more antineoplastic agents, were prepared A preferred compound is II.

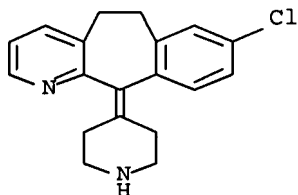
AN 2003:454126 CAPLUS Full-text <<LOGINID::20070622>>
 DN 139:52885
 TI Use of pyridobenzocycloheptene FPT inhibitors and at least two
 antineoplastic agents in the treatment of cancer
 IN Cutler, David L.; Baum, Charles; Zaknoen, Sara L.
 PA Schering Corporation, USA
 SO PCT Int. Appl., 406 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2003047586	A1	20030612	WO 2002-US38716	20021203	
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	CA 2468996	A1	20030612	CA 2002-2468996	20021203	
	AU 2002346644	A1	20030617	AU 2002-346644	20021203	
	US 2004006087	A1	20040108	US 2002-308813	20021203	
	EP 1453513	A1	20040908	EP 2002-784716	20021203	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK		
	JP 2005515201	T	20050526	JP 2003-548841	20021203	
	CN 1849122	A	20061018	CN 2002-827792	20021203	
PRAI	US 2001-336961P	P	20011203			
	WO 2002-US38716	W	20021203			

OS MARPAT 139:52885
 IT 100643-71-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation and use of pyridobenzocycloheptene derivs. as farnesyl protein transferase inhibitors for treating cancer)

RN 100643-71-8 CAPLUS
 CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

AB Cryst. polymorphs of 8-chloro-6,11-dihydro-11-(4-piperidylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine (descarboylethoxyloratadine), pharmaceutical compns. containing such polymorphs, and methods of using such polymorphs to treat allergic reactions in mammals, including humans, are disclosed.

AN 2003:35358 CAPLUS Full-text <<LOGINID::20070622>>

DN 138:78570

TI Polymorphs of descarboylethoxyloratadine

IN Schumacher, Doris P.; Lee, Junning; Rogers, Lawrence R.; Eckhart, Charles G.; Sawant, Naneshwar S.; Mitchell, Michael B.

PA Schering Corporation, USA

SO U.S., 12 pp.
CODEN: USXXAM

DT Patent

LA English

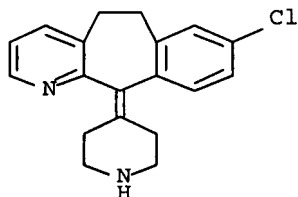
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	US 6506767	B1	20030114	US 1998-108689	19980701
PRAI	US 1997-51547P	P	19970702		
IT	100643-71-8P				

RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (preparation of polymorphs of antiallergic descarboylethoxy-loratadine)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

AB Disclosed is a method of treating malaria comprising administering an effective amount of a farnesyl protein transferase inhibitor to a patient in need of such treatment alone or in combination with an addnl. antimalarial agent and/or agent for reversing antimalarial resistance. Also disclosed are novel farnesyl protein transferase inhibitors. Compds. of this invention exhibit a P. falciparum ED50 range of between 0.05 μ M and 8.6 μ M.

AN 2002:555351 CAPLUS Full-text <<LOGINID::20070622>>

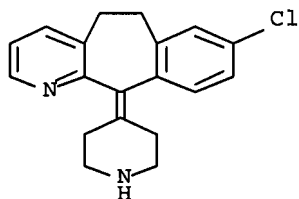
DN 137:125178

TI Treatment of malaria with farnesyl protein transferase inhibitors and preparation of tricyclic compounds for said treatment

IN Windsor, William T.; Weber, Patricia C.; Wang, James J.-S.; Strickland, Corey; Njoroge, F. George; Guzi, Timothy J.; Girijavallabhan, Viyyoor M.;

Ferreira, Johan A.; Desai, Jagdish A.; Cooper, Alan B.; Gelb, Michael
 PA Schering Corporation, USA
 SO PCT Int. Appl., 74 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002056884	A2	20020725	WO 2002-US1637	20020118
	WO 2002056884	A3	20030912		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002236813	A1	20020730	AU 2002-236813	20020118
	US 2003092705	A1	20030515	US 2002-53335	20020118
	US 6645966	B2	20031111		
	US 2004087592	A1	20040506	US 2003-690232	20031021
PRAI	US 2001-263277P	P	20010122		
	US 2002-53335	A3	20020118		
	WO 2002-US1637	W	20020118		
IT	100643-71-8P				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (treatment of malaria with farnesyl protein transferase inhibitors and preparation of tricyclic compds. for treatment)				
RN	100643-71-8	CAPLUS			
CN	5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)				



L5 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; one of a, b, d, e = N, N:O; remaining a, b, d, e = C, where each C has an R1 or R2; each a, b, d, e = C, where each C has an R1 or R2; R1, R2 independently = H, halo, CF3, alkoxy, alkylcarbonyl, alkylthio, aryloxy,

arylcarbonyl, arylthio, heteroaryloxy, heterarylcarbonyl, heterarylthio; R3, R4 independently = H, halo, CF3, alkoxy, alkylcarbonyl, alkylthio, aryloxy, arylcarbonyl, arylthio, heteroaryloxy, heterarylcarbonyl, heterarylthio; R5, R6, R7, R9 independently = H, halo, CF3, alkoxy, alkylcarbonyl, alkylthio, aryloxy, arylcarbonyl, arylthio, heteroaryloxy, heterarylcarbonyl, heterarylthio; R5R6 = O, S; R8 = H, alkoxycarbonyl, aryloxycarbonyl, cycloalkoxycarbonyl, heteroaryloxycarbonyl, alkylsulfonyl, arylsulfonyl, cycloalkylsulfonyl, heteroarylulfonyl, HONHCO, NCNHCO, CF3NHCO, R10R11NCO, R12R13R14CO; R10 = alkyl; R11 = H, OH, alkyl, aryl cycloalkyl heteroaryl; R12, R13, R14 independently = H, alkyl, aryl, cycloalkyl, heteroaryl; dotted line = single, double bond; X = N, CH; A = CH, CH2, CH], stereoisomers, pharmaceutically acceptable salts, solvates, and prodrugs are prepared and are useful for inhibiting farnesyl protein transferase. Also disclosed are pharmaceutical compns. comprising title compds. I and their preparation as well as methods of using them to treat proliferative diseases such as cancer. Title compds. I and other chemotherapeutic agents, selected from signal transduction inhibitors (bcr/abl kinase inhibitor, epidermal growth factor receptor inhibitor, her-2/neu receptor inhibitor), antineoplastic agents (uracil mustard, cyclo-phosphamide, etc.), microtubule affecting agents (Allocolchicine, Maytansine, etc.) are disclosed as pharmaceutical compns. Thus, the title compound II was prepared from 8-chloro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-one, tert-Bu 1- piperazinecarboxylate, 2-methylimidazole, and p-cyanophenyl isocyanate via carbonation and hydrogenation.

AN 2002:171884 CAPLUS Full-text <<LOGINID::20070622>>

DN 136:232320

TI Preparation of tricyclic antitumor compounds being farnesyl protein transferase inhibitors

IN Njoroge, F. George; Vibulbhan, Bancha; Cooper, Alan B.; Guzi, Timothy; Rane, Dinanath F.; Minor, Keith P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Santhanam, Bama; Pinto, Patrick A.; Zhu, Hugh Y.; Keertikar, Kartik M.; Alvarez, Carmen S.; Baldwin, John J.; Li, Ge; Huang, Chia-yu; James, Ray A.; Bishop, Robert W.; Wang, James; Desai, Jagdish A.

PA Schering Corporation, USA; Pharmacoopia, Inc.

SO PCT Int. Appl., 405 pp.

CODEN: PIXXD2

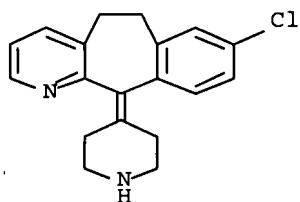
DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002018368	A1	20020307	WO 2001-US26792	20010828
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2420673	A1	20020307	CA 2001-2420673	20010828
	AU 200188451	A	20020313	AU 2001-88451	20010828
	EP 1313725	A1	20030528	EP 2001-968188	20010828
	EP 1313725	B1	20070411		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001013675	A	20030624	BR 2001-13675	20010828
	HU 200302942	A2	20031229	HU 2003-2942	20010828
	HU 200302942	A3	20070228		
	JP 2004513885	T	20040513	JP 2002-523486	20010828

NZ 524246 A 20041126 NZ 2001-524246 20010828
 RU 2293734 C2 20070220 RU 2003-108865 20010828
 AT 359281 T 20070515 AT 2001-968188 20010828
 ZA 2003001545 A 20040622 ZA 2003-1545 20030225
 IN 2003CN00315 A 20050408 IN 2003-CN315 20030225
 NO 2003000918 A 20030429 NO 2003-918 20030227
 PRAI US 2000-229183P P 20000830
 WO 2001-US26792 W 20010828
 OS MARPAT 136:232320
 IT 100643-71-8P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of tricyclic compds. being farnesyl protein transferase inhibitors)
 RN 100643-71-8 CAPLUS
 CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
 AB Cryst. polymorphs of 8-chloro-6,11-dihydro-11-(4-piperidylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine (I), pharmaceutical compns. containing such polymorphs, and methods of using such polymorphs to treat allergic reactions in mammals such as man are disclosed. I polymorph form 1 was prepared by hydrolysis of ethanolic loratadine in presence of KOH and recrystn. from Me iso-Bu ketone. The polymorph form 1 was a white crystalline solid containing 100% form 1, with no detectable amount of form 2.
 AN 1999:48718 CAPLUS Full-text <<LOGINID::20070622>>
 DN 130:115013
 TI Polymorphs of 8-chloro-6,11-dihydro-11-(4-piperidylidene)-5h-benzo[5,6]cyclohepta[1,2-b]pyridine
 IN Schumacher, Doris P.; Lee, Junning; Rogers, Lawrence R.; Eckhart, Charles G.; Sawant, Naneshwar S.; Mitchell, Michael B.
 PA Schering Corporation, USA
 SO PCT Int. Appl., 37 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901450	A1	19990114	WO 1998-US13433	19980701
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, GW, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA,				

UZ, VN, YU

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2294352	A1	19990114	CA 1998-2294352	19980701
ZA 9805783	A	19990119	ZA 1998-5783	19980701
AU 9882710	A	19990125	AU 1998-82710	19980701
AU 734487	B2	20010614		
EP 993455	A1	20000419	EP 1998-932930	19980701
EP 993455	B1	20030502		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
LT, LV, FI, RO

BR 9811658	A	20000905	BR 1998-11658	19980701
NZ 501417	A	20001027	NZ 1998-501417	19980701
TR 9903308	T2	20001121	TR 1999-3308	19980701
HU 200004308	A2	20011028	HU 2000-4308	19980701
JP 2002507991	T	20020312	JP 1999-507265	19980701
RU 2197485	C2	20030127	RU 2000-102669	19980701
AT 239010	T	20030515	AT 1998-932930	19980701
ES 2197480	T3	20040101	ES 1998-932930	19980701
IL 133387	A	20040208	IL 1998-133387	19980701
IN 1998MA01473	A	20050304	IN 1998-MA1473	19980701
NO 9906547	A	20000301	NO 1999-6547	19991229
MX 200000133	A	20000831	MX 2000-133	20000103
HK 1024687	A1	20040116	HK 2000-102387	20000420

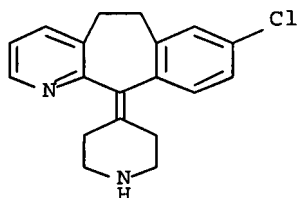
PRAI US 1997-886766 A 19970702
WO 1998-US13433 W 19980701

IT 100643-71-8P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(polymorphs of descarboethoxyloratadine)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN

AB Methods for treating urinary incontinence, vertigo, and motion sickness
comprise administering a therapeutically effective amount of
descarboethoxyloratadine, or a pharmaceutically acceptable salt thereof.

AN 1998:608518 CAPLUS Full-text <<LOGINID::20070622>>

DN 129:211729

TI Use of descarboethoxyloratadine for the manufacture of a medicament for
the treatment of urinary incontinence, motion sickness, and vertigo

IN McCullough, John R.

PA Sepracor, Inc., USA

SO PCT Int. Appl., 47 pp.

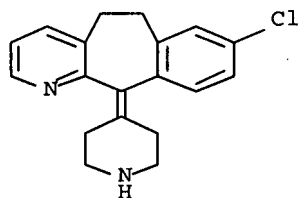
CODEN: PIXXD2

DT Patent

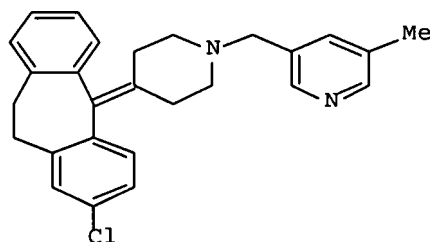
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9837889	A1	19980903	WO 1998-US3532	19980224
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5939426	A	19990817	US 1997-808116	19970228
	CA 2282396	A1	19980903	CA 1998-2282396	19980224
	AU 9861828	A	19980918	AU 1998-61828	19980224
	AU 740504	B2	20011108		
	EP 975344	A1	20000202	EP 1998-906665	19980224
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 9807796	A	20000215	BR 1998-7796	19980224
	JP 2001515475	T	20010918	JP 1998-537761	19980224
	NZ 337400	A	20010928	NZ 1998-337400	19980224
	NZ 513650	A	20010928	NZ 1998-513650	19980224
	MX 9907802	A	20000228	MX 1999-7802	19990824
	NO 9904165	A	19991025	NO 1999-4165	19990827
	AU 762060	B2	20030619	AU 2002-14768	20020201
	AU 762059	B2	20030619	AU 2002-14770	20020201
	US 2002183241	A1	20021205	US 2002-146415	20020516
PRAI	US 1997-808116	A	19970228		
	AU 1998-61828	A3	19980224		
	WO 1998-US3532	W	19980224		
	US 1999-272391	B1	19990319		
IT	100643-71-8P, Descarboethoxyloratadine				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(descarboethoxyloratadine for treatment of urinary incontinence, motion sickness, and vertigo)				
RN	100643-71-8 CAPLUS				
CN	5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)				



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT



I

AB The title salt I-fumarate is prepd. for use as an antagonist of PAF (platelet activating factor) and an antihistaminic (no data). I-fumarate has improved hygroscopicity and light stability in comparison to I.3HCl or the free base I. For example, I was prepared from loratadine by a sequence of: hydrolytic removal of the N-ethoxycarbonyl group (84%), N-acylation with 5-methylnicotinic acid using DCC and HOBt (65%), and chlorination/reduction of the amide using POCl₃ followed by NaBH₄ (72%). Treatment of I with fumaric acid in EtOH gave 70% I-fumarate. When exposed to 98% humidity for 24 h, H₂O contents were 5.7% for I, and 28.3% for I.3HCl, but only 0.29% for I-fumarate. Similarly, irradiation at 150 klx for 1 h reduced purities to 92.7% for I, to 74% for I.3HCl, but only to 99.2% for I.fumarate.

AN 1996:635179 CAPLUS Full-text <<LOGINID::20070622>>

DN 125:275664

TI 8-Chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidylidene]-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine fumarate and its preparation and use as a PAF antagonist and antihistaminic

IN Carceller, Elena; Recasens, Nuria; Almansa, Carmen; Bartroli, Javier; Merlos, Manel; Giral, Marta

PA J. Uriach & Cia. S.A., Spain

SO Span., 11 pp.
CODEN: SPXXAD

DT Patent

LA Spanish

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ES 2087818	A1	19960716	ES 1993-2460	19931124
	ES 2087818	B1	19970316		
	NO 9404487	A	19950526	NO 1994-4487	19941123
PRAI	ES 1993-2460	A	19931124		

IT 100643-71-8P, 8-Chloro-11-(4-piperidylidene)-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

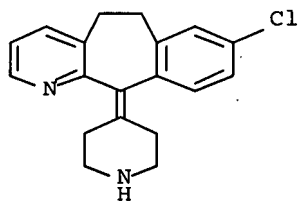
(intermediate; preparation of benzocycloheptapyridine derivative fumarate

salt

as PAF antagonist and antihistaminic with improved properties)

RN 100643-71-8 CAPLUS

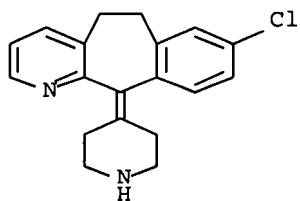
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (CA INDEX NAME)



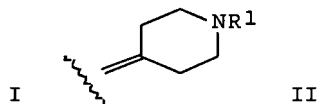
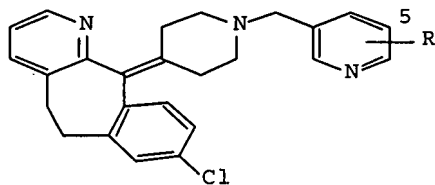
L5 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
 AB Methods are disclosed utilizing DCL, a metabolic deriv. of loratadine, for the treatment of allergic rhinitis, and other disorders such as diabetic retinopathy, while avoiding the concomitant liability of adverse side-effects associated with other non-sedating antihistamines.
 AN 1996:544058 CAPLUS Full-text <<LOGINID::20070622>>
 DN 125:177434
 TI Methods and compositions for treating allergic rhinitis and other disorders using descarboethoxyloratadine
 IN Aberg, A. K. Gunnar; McCullough, John R.; Smith, Emil R.
 PA Sepracor, Inc., USA
 SO PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9620708	A1	19960711	WO 1995-US15995	19951211
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UZ, VN				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5595997	A	19970121	US 1994-366651	19941230
	CA 2208836	A1	19960711	CA 1995-2208836	19951211
	CA 2208836	C	20011204		
	AU 9645126	A	19960724	AU 1996-45126	19951211
	AU 707541	B2	19990715		
	EP 799037	A1	19971008	EP 1995-943722	19951211
	EP 799037	B1	20060920		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	BR 9510129	A	19971230	BR 1995-10129	19951211
	CN 1176598	A	19980318	CN 1995-197713	19951211
	HU 77315	A2	19980330	HU 1997-1905	19951211
	JP 10512240	T	19981124	JP 1995-521002	19951211
	EP 1078633	A2	20010228	EP 2000-113351	19951211
	EP 1078633	A3	20010307		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	NZ 300398	A	20010427	NZ 1995-300398	19951211
	CZ 293068	B6	20040218	CZ 1997-2026	19951211
	SK 284834	B6	20051201	SK 1997-888	19951211
	AT 339956	T	20061015	AT 1995-943722	19951211
	EP 1712232	A1	20061018	EP 2006-100220	19951211
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	CN 1935141	A	20070328	CN 2006-10087823	19951211

US 5731319	A	19980324	US 1997-783393	19970113
NO 9703023	A	19970819	NO 1997-3023	19970627
NO 317555	B1	20041115		
FI 9702781	A	19970827	FI 1997-2781	19970627
US 7214683	B1	20070508	US 1998-39260	19980316
HK 1008185	A1	20070309	HK 1998-109215	19980716
US 7211582	B1	20070501	US 1999-447218	19991123
NO 2002000211	A	19970819	NO 2002-211	20020115
US 2005131046	A1	20050616	US 2004-989514	20041117
US 7214684	B2	20070508		
PRAI US 1994-366651	A	19941230		
CN 1995-197713	A3	19951211		
EP 1995-943722	A3	19951211		
EP 2000-113351	A3	19951211		
WO 1995-US15995	W	19951211		
US 1997-783393	A3	19970113		
US 1998-39260	A3	19980316		
US 1999-447218	A3	19991123		
IT 100643-71-8P,		Descarboethoxyloratadine		
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
(methods and compns. for treating allergic rhinitis and other disorders using descarboethoxyloratadine)				
RN 100643-71-8	CAPLUS			
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)-	(CA INDEX NAME)			



L5 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2007 ACS on STN
GI



AB Nine title compds. I [R = H, halo, Cl-4 alkyl, Cl-4 alkoxy] and a salt were prepared and tested. For example, the drug loratadine [II; R1 = CO2Et] was treated with Me3SiI in CHCl3 at 55-60° under Ar to give 77% II (R1 = H). N-alkylation of this by 3-methyl-5- (bromomethyl)pyridine [prepared in situ by NBS bromination of 3,5-lutidine] in CCl4 in the presence of DMAP gave 40% I (R

= 5-Me) (III), the most active compound In a test for H1-antihistaminic activity, III was 20 times as potent as the known unsubstituted 4-pyridyl analog, and 25-70 times as potent as loratadine and 2 other carbonyl-containing analogs. In tests of I and the standard compds. for antagonism of platelet activating factor (PAF), only II showed potent activity, being at least 10-fold more active than the other compds.

AN 1994:680552 CAPLUS Full-text <<LOGINID::20070622>>

DN 121:280552

TI Process for preparation of 8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-piperidylidene]-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine and analogs as antihistaminics and PAF antagonists

IN Carceller, Elena; Recasens, Nuria; Almansa, Carmen; Almansa, Javier; Merlos, Manuel; Giral, Marta; Garcia-Rafanell, Julian; Forn, Javier

PA J. Uriach y Cia S.A., Spain

SO Span., 18 pp.

CODEN: SPXXAD

DT Patent

LA Spanish

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ES 2042421	A1	19931201	ES 1992-1054	19920522
	ES 2042421	B1	19940801		
	CA 2096318	A1	19931123	CA 1993-2096318	19930514
	CA 2096318	C	19980623		
	US 5407941	A	19950418	US 1993-61720	19930517
	EP 577957	A1	19940112	EP 1993-108177	19930519
	EP 577957	B1	19950712		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 06087856	A	19940329	JP 1993-117427	19930519
	JP 2730612	B2	19980325		
	ES 2076817	T3	19951101	ES 1993-108177	19930519
	KR 156518	B1	19981116	KR 1993-8812	19930521
	US 5476856	A	19951219	US 1995-391702	19950221
PRAI	ES 1992-1054	A	19920522		
	US 1993-61720	A1	19930517		

OS MARPAT 121:280552

IT 100643-71-8P, 8-Chloro-11-(4-piperidylidene)-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of

[(pyridylmethyl)piperidylidene]benzocyclohepta

pyridine derivs. as antihistaminics and PAF antagonists)

RN 100643-71-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinyldiene)- (CA INDEX NAME)

